

PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:

EGGEN, I. F. et al.

Docket: 2001.662 US D2

Serial No.:

10/693,802

Examiner: Jon D. Epperson

Filing Date: October 23, 2003

Group Art Unit: 1639

Title: PROCESS FOR RAPID SOLUTION

SYNTHESIS OF PEPTIDES

Commissioner for Patents

P.O. Box 1450

Alexandria, VA 22313-1450

CERTIFICATE OF MAILING I hereby certify that this correspondence is being deposited with the United States Postal Service as First-Class mail in an envelope Addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450

October 5, 2006

SUPPLEMENTAL INFORMATION DISCLOSURE STATEMENT

In accordance with the requirements of 37 CFR §1.56, applicants submit the documents attached hereto. Pursuant to the United States Patent and Trademark Office, OG Notice 05 August 2003, applicants have excluded the seven (7) U.S. patent documents from the attachment. A listing of said documents on form PTO-1449 is also attached. All documents listed on the PTO-1449 are to be made of record in the above-identified case.

The present Supplemental Information Disclosure Statement is being filed concurrently with the Request for Continued Examination. If a filing fee is required, authorization to charge applicants' deposit account can be found in the attached transmittal letter.

U.S. Serial No. 10/693,802

This Statement is not intended to represent that no better art exists. Applicants reserve the right to contest the applicability of the documents attached hereto as prior art in the event that any information is discovered which demonstrates that said documents do not qualify as prior art.

Consideration of the present Supplemental Information Disclosure Statement is respectfully requested. The claimed invention is, however, deemed to represent a patentable departure from the teachings of the prior art.

Respectfully submitted,

Susan Hes

Susan Hess

Attorney for Applicant(s)

Reg. No. 37,350

Akzo Nobel Inc. Intellectual Property Department 7 Livingstone Avenue Dobbs Ferry, NY 10522-3408

Tel No.: (773) 422-7474

Page 1 of 3

| INFORMATION DISCLOSURE CITATION (Use several sheets if necessary) PTO-1449 (modified) | | | | | Atty. Docket | | | Serial No. 10/693,802 | |
|---|---|---------------|--|----------------------------|-------------------------------|-------------------|------------------------|--------------------------|--|
| 200 1 2006 | | | | | Applicant EGGEN, I. F. et al. | | | | |
| OCT 10 2000 | | | | Filing Date October 23, | 2003 | Group Art 1639 | Group Art Unit 1639 | | |
| U.S. F | PATENT DOCUMENTS | | | | | | | 1 | |
| Init | Document Number | Date | | Na | ame | Class | Subclass | Filing Date | |
| | 5,652,336 | 07-1997 | Fife et al. Dhaon Zuckermani Pieken et al Viskov Bolton et al. | | | 530 | 342 | | |
| | 5,698,676 | 12-1997 | | | | 530 | 334 | | |
| | 5,877,278 | 03-1999 | | | n et al. | 530 | 334 | | |
| | 6,001,966 | 12-1999 | | | | 530 | 338 | | |
| | 2001/0025025 A1 | 09-2001 | | | | 514 | 9 | | |
| | 6,506,701 B1 | 01-2003 | | | | 502 | 20 | | |
| | 6,864,357 B2 | 03-2005 | Eggen e | t al. | | 530 | 333 | | |
| FORE | IGN PATENT DOCUM | ENTS | | | | | | | |
| | Document Number | Publ. Date | C | | untry | Class | Subclass | Translation | |
| | | | | • | | | | Yes No | |
| OTHE | R DOCUMENTS (Incl | uding Author | , Title, Da | te, f | Pertinent Pa | iges, etc.) | continued or | page 2 of 2 | |
| | HER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, etc.) continued on page 2 of 2 European Search Report for Application No. EP 01 20 2753 dated June 28, 2002. | | | | | | | | |
| | Derwent abstract number 0000135378 abstracting SU 215 227. | | | | | | | | |
| | Fukuyama, T. et al, "2,4-Dinitrobenzenesulfonamides: A Simple and Practical Method for the Preparation of a Variety of Secondary Amines and Diamines," Tetrahedron Letters, Vol. 38, No. 33 (1997) pp. 5831-5834. | | | | | | | | |
| | Kisfaludy, L. et al., "A Novel and Rapid Peptide Synthesis," Tetrahedron Letters, No. 19 (1974) pp. 1785-1786. | | | | | | | | |
| | Kunz, H. et al, "Der Allyloxycarbonyl(Aloc)-Rest – die Verwandlung einer untauglichen in eine wertvolle Aminoschutzgruppe für die Peptidsynthese," Angew. Chem., Vol. 96, No. 6 (1984) pp. 426-427. | | | | | | | | |
| | English language version of Kunz, H. et al., "The Allyloxycarbonyl (Aloc) Moiety – Conversion of an Unsuitable into a Valuable Amino Protecting Group for Peptide Synthesis," Angew. Chem. Int. Ed. Engl., Vol. 23, No. 6 (1984) pp. 436-437. | | | | | | | | |
| | Karlström, A. et al., "A New Protecting Group for Aspartic Acid that Minimizes Piperidine-Catalyzed Aspartimide Formation In Fmoc Soliid Phase Peptide Synthesis," Tetrahedron Letters, Vol. 37, No. 24 (1996) pp. 4243-4246. | | | | | | | | |
| | Yue, C. et al., "2-Phenyl Isopropyl Esters as Carboxyl Terminus Protecting Groups in the Fast Synthesis of Peptide Fragments," Tetrahedron Letters, Vol. 34, No. 2 (1993) pp. 323-326. | | | | | | | | |
| EXAN | EXAMINER DATE CONSIDERED | | | | | | | | |

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

| INFORMATION DISCLOSURE CITATION (Use several sheets if necessary) | Atty. Docket # | Serial No. | | | | | | |
|---|---|--|--|--|--|--|--|--|
| PTO-1449 (modified) | 2001.662 US D2 | 10/693,802 | | | | | | |
| | Applicant EGGEN, I. F. et al. | Land Control of the C | | | | | | |
| | Filing Date | Group Art Unit | | | | | | |
| OTHER DOCUMENTS (Isoluding Author Title Date | October 23, 2003 | 1639 | | | | | | |
| OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, etc.) (continued from page 1) | | | | | | | | |
| Tetrahedron Letters, Vol. 36, No. 31 (1995) pp. | Athanassopoulos, P. et al., "Application of 2-Chlorotrityl Chloride in Convergent Peptide Synthesis," Tetrahedron Letters, Vol. 36, No. 31 (1995) pp. 5645-5648. | | | | | | | |
| Mergler, M. et al., "Systematic Investigation of the International and the Seventeenth American Petitle pages (2 sheets). | Mergler, M. et al., "Systematic Investigation of the Aspartimide Problem," Proceedings of the Second International and the Seventeenth American Peptide Symposium (June 9-14, 2001) pp. 63-64 and title pages (2 sheets). | | | | | | | |
| Exceptional Stabilization of the Cyclopropylmeth 7719. | Carpino, L. A. et al, "Novel Carboxylic Acid and Carboxamide Protective Groups Based on the Exceptional Stabilization of the Cyclopropylmethyl Cation," J. Org. Chem., Vol. 60 (1995) pp. 7718-7719. | | | | | | | |
| acid and N^{α} -Boc-L-glutamic acid," Int. J. Peptide | Al-Obeidi, F. et al., "Synthesis of β - and γ -fluorenylmethyl esters of respectively N^{α} -Boc-L-aspartic acid and N^{α} -Boc-L-glutamic acid," Int. J. Peptide Protein Res., Vol. 35 (1990), pp. 215-218. | | | | | | | |
| Int. J. Peptide Protein Res., Vol. 26 (1985) pp. 4 | Kunz, H. et al., "Allyl ester as temporary protecting group for the β-carboxy function of aspartic acid," Int. J. Peptide Protein Res., Vol. 26 (1985) pp. 493-497. | | | | | | | |
| | Sieber, P. with English Summary, "264. Der 2-Trimethylsilyläthyl-Rest als selektiv abspaltbare Carboxy-Schutzgruppe," Helvetica Chimica Acta, Vol. 60 , No. 8 (1977) pp. 2711-2716. | | | | | | | |
| | Chan, W. C. et al., "A Novel 4-Aminobenzyl Ester-based Carboxy-protecting Group for Synthesis of Atypical Peptides by Fmoc-Bu ^t Solid-phase Chemistry," J. Chem. Soc., Chem. Commun., (1995) pp. 2209-2210. | | | | | | | |
| | Li, P. et al., "Highly efficient synthesis of peptides by rational utilization of novel coupling reagents," Chinese Journal of Chemistry, Vol. 18, No. 4 (2000) pp. 456-466. | | | | | | | |
| Franzén, H. et al., "Synthesis, Properties, and U Chem. Commun. (1984) pp. 1699-1700. | Franzén, H. et al., "Synthesis, Properties, and Use of N ⁱⁿ -Boc-tryptophan Derivatives," J. Chem. Soc., Chem. Commun. (1984) pp. 1699-1700. | | | | | | | |
| | Sieber, P. et al., "Protection of Carboxamide Functions by the Trityl Residue. Application to Peptide Synthesis," Tetrahedron Letters, Vol. 32, No. 6 (1991) pp. 739-742. | | | | | | | |
| | Sieber, P. et al., "Protection of Histidine in Peptide Synthesis: A Reassessment of the Trityl Group," Tetrahedron Letters, Vol. 28, No. 48 (1987) pp. 6031-6034. | | | | | | | |
| | Ramage, R. et al., "N _G -2,2,5,7,8-Pentamethylchroman-6-Sulphonyl-L-Arginine: A New Acid Labile Derivative for Peptide Synthesis," Tetrahedron Letters, Vol. 28, No. 20 (1987) pp. 2287-2290. | | | | | | | |
| Carpino, L. A. et al., "The 2,2,4,6,7-Pentamethy Side Chain Protectant," Tetrahedron Letters, Vo | Carpino, L. A. et al., "The 2,2,4,6,7-Pentamethyldihydrobenzofuran-5-sulfonyl Group (Pbf) as Arginine Side Chain Protectant," Tetrahedron Letters, Vol. 34, No. 49 (1993) pp. 7829-7832. | | | | | | | |
| Eggen, I. F. et al., "Rapid solution-phase synthemethod," Supplement to Chimica Oggi/Chemist | Eggen, I. F. et al., "Rapid solution-phase synthesis of a 20-mer peptide according to the DioRaSSP method," Supplement to Chimica Oggi/Chemistry Today, Vol. 23, No. 3, pp. 21-24. | | | | | | | |
| Eggen, I. F. et al., "A novel method for repetitive peptide synthesis in solution with isolation of intermediates," Journal of Peptide Science, Vol. 11 (2005) pp. 633-641. | | | | | | | | |
| EXAMINER | ATE CONSIDERED | | | | | | | |

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

| INFORMATION DISCLOSURE CITATION (Use several sheets if necessary) | Atty. Docket # | Serial No. | | | | | | |
|--|--|----------------|--|--|--|--|--|--|
| PTO-1449 (modified) | 2001.662 US D2 | 10/693,802 | | | | | | |
| | Applicant EGGEN, I. F. et al. | | | | | | | |
| | Filing Date | Group Art Unit | | | | | | |
| | October 23, 2003 | 1639 | | | | | | |
| OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, etc.) (continued from page 2) | | | | | | | | |
| | Eggen, I. F. et al., "DioRaSSP: Diosynth Rapid Solution Synthesis of Peptides," Organic Process Research & Development, Vol. 9 (2005) pp. 98-101. | | | | | | | |
| Eggen, I. F., "DioRaSSP®: Diosynth Rapid Solut | Eggen, I. F., "DioRaSSP®: Diosynth Rapid Solution Synthesis of Peptides," Poster (2004). | | | | | | | |
| | Eggen, I. F., "Extending the potentials of the DioRaSSP® method," Power Point Presentation (2004). | | | | | | | |
| Ludt, R. E. et al., "A Comparison of the Synthetic in the Metalations of <i>N,N</i> -Dialkyltoluamides," J. C | Ludt, R. E. et al., "A Comparison of the Synthetic Utility of n-Butyllithium and Lithium Diisopropylamide in the Metalations of <i>N,N</i> -Dialkyltoluamides," J. Org. Chem., Vol. 38, No. 9 (1973) pp. 1668-1674. | | | | | | | |
| Tsuboi, S. et al., "Stereoselective Transformation with Lithium Diisopropylamide (LDA)," Chemistry | Tsuboi, S. et al., "Stereoselective Transformation of 2,4-Alkadienoic Esters to the 3,5-Dienoic Isomers with Lithium Diisopropylamide (LDA)," Chemistry Letters (9) (1984) pp. 1541-1542. | | | | | | | |
| Dragovich, P. S. et al., "Formal Stereoselective S Utilizing Pseudoephedrine Amides," J. Org. Cher | Dragovich, P. S. et al., "Formal Stereoselective Synthesis of Hydroxyethylene Dipeptide Isosteres Utilizing Pseudoephedrine Amides," J. Org. Chem., Vol. 62, No. 22 (1997) pp. 7872-7876. | | | | | | | |
| De Lithium. Mecanismes de Metallation Et De Mi | Mallet, M. et al. with English Abstract, "Reaction De La Bromo-3 Pyridine Avec Le Diisopropylamidure De Lithium. Mecanismes de Metallation Et De Migration D'Halogene. Regioselectivite De L'Addition Polaire Sur La Pyridyne-3,4," Tetrahedron, Vol. 38, No. 20 (1982) pp. 3035-3042. | | | | | | | |
| Balamraju, Y. et al., "Mixed Aggregates of Lithium Stereoselectivity of Ketone Enolization," Tetrahe | Balamraju, Y. et al., "Mixed Aggregates of Lithium Tetramethylpiperidide with Butyllithium: Stereoselectivity of Ketone Enolization," Tetrahedron, Vol. 54, No. 26 (1998) pp. 7357-7366. | | | | | | | |
| Kazmaier, U. et al., "Application of the chelate er dipeptides," Chemical Communications, Cambrid | Kazmaier, U. et al., "Application of the chelate enolate Claisen rearrangement to the modification of dipeptides," Chemical Communications, Cambridge (22) (1998) pp. 2535-2536. | | | | | | | |
| Carpino, L. A. et al., "Piperazino-Functionalized 9-Fluorenylmethyloxycarbonyl Amino-Protecting | Carpino, L. A. et al., "Piperazino-Functionalized Silica Gel as a Deblocking-Scavenging Agent for the 9-Fluorenylmethyloxycarbonyl Amino-Protecting Group," J. Org. Chem., Vol. 48 (1983) pp. 666-669. | | | | | | | |
| Carpino, L. A. et al., "Polystyrene-Based Debloc | Carpino, L. A. et al., "Polystyrene-Based Deblocking-Scavenging Agents for the 9-Fluorenylmethyloxycarbonyl Amino-Protecting Group," J. Org. Chem., No. 48 (1983) pp. 661-665. | | | | | | | |
| Carpino, L. A. et al., "Tris(2-aminoethyl)amine as | Carpino, L. A. et al., "Tris(2-aminoethyl)amine as a Substitute for 4-(Aminomethyl)piperidine in the FMOC/Polyamine Approach to Rapid Peptide Synthesis," J. Org. Chem., Vol. 55 (1990) pp. 1673- | | | | | | | |
| Russian Search Report dated January 23, 2003. | Russian Search Report dated January 23, 2003. | | | | | | | |
| Israelian office action dated October 16, 2002. | | | | | | | | |
| Domb, A. J. et al., "Chemical Interactions Between Hydrolyzable Insoluble Biopolymers in Aqueous (1994) pp. 865-868. | Domb, A. J. et al., "Chemical Interactions Between Drugs Containing Reactive Amines with Hydrolyzable Insoluble Biopolymers in Aqueous Solutions," Pharmaceutical Research, Vol. 11, No. 6 (1994) pp. 865-868. | | | | | | | |
| Supporting Information for Carpino et al., The 1, (Bsmoc) Amino-Protecting Group. 11 June 1999 Supporting Info. Pages 1-133. | Supporting Information for Carpino et al., The 1,1-Dioxobenzo[b]thiophene-2-ylmethyloxycarbonyl (Bsmoc) Amino-Protecting Group. 11 June 1999, J. Org. Chem., Vol. 64, No. 12, pp. 4324-4338. Supporting Info. Pages 1-133. | | | | | | | |
| Houghten, R.A. et al, "Generation and use of syl research and drug discovery," Letters to Nature, | Houghten, R.A. et al, "Generation and use of synthetic peptide combinatorial libraries for basic research and drug discovery," Letters to Nature, Vol. 354 (1991) pp. 84-86. | | | | | | | |
| EXAMINER DA | ATE CONSIDERED | | | | | | | |

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609; draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.